

In the Claims:

Please amend the claims as follows.

1-37. Canceled.

38. (Currently amended) The method according to claim ~~37~~ 54 wherein R<sup>8</sup> is H or Br.

39. (Currently amended) The method according to claim ~~37~~ 54 wherein R<sup>8</sup> is H.

40-43. (Canceled)

44. (Currently amended) The method of claim ~~37~~ 54 wherein said bioactive agent or drug acts on the central nervous system.

45. (Currently amended) The method of claim ~~37~~ 54 wherein said bioactive agent acts on the brain.

46. (Currently amended) The method of claim ~~37~~ 54 wherein said bioactive agent attains a level which is at least twice the level attained in the absence of said enhancing agent.

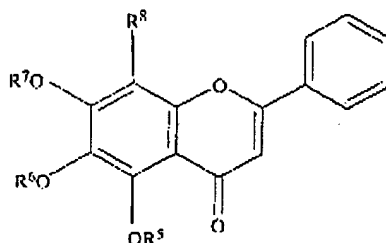
47. (Currently amended) The method according to claim ~~37~~ 54 wherein said bioactive agent is an antitumor or anticancer agent.

48. (Currently amended) The method according to claim 37 wherein said bioactive agent or drug is selected from the group consisting of anesthetics, systemic antibiotics, antiparasitics, systemic quinolones, anti-infectives, anti-inflammatories, aminoglycosides, cephalosporins, penicillins, antidotes, anti-cholinesterases, metal poisoning antidotes, anticancer agents, cytotoxic agents, hormones, steroids, immunomodulators, cytokines, systemic antivirals, systemic antifungals, biologicals, alpha-antitrypsin, bone metabolism regulators, hypercalcemic agent, cardiovascular agents, beta blockers, cerebral

vasodilators, cerebral metabolic enhancers, cholinesterase inhibitors, vasopressors, local diabetic agents, diagnostics, adenosine deaminase deficiency agents, gonadotropin inhibitors, adrenal cortical steroid inhibitors, gonadotropin releasing hormone stimulant, urofollitropins, muscle relaxants such as neuromuscular blocking agents, prostaglandin analogs, prostaglandins, prostaglandin inhibitors, respiratory therapy agents, anticholinergics, beta adrenergic stimulators, sympathomimetics, and thrombolytics, antithrombotics, anticoagulants, antibiotics antiplatelet agents, thrombolytics, antiproliferatives, steroidal and nonsteroidal antiinflammatories, agents that inhibit hyperplasia, smooth muscle cell inhibitors, growth factors, growth factor inhibitors, cell adhesion inhibitors, cell adhesion promoters, endothelial cell regeneration agents, antiinflammatory drugs, antibacterials, antiprotazoals, antifungals, coronary vasodilators, calcium channel blockers, bronchodilators, enzyme inhibitors, antihypertensives, anti-ulceratives, steroidal hormones, antivirals, immunomodulators, local anesthetics, cardiotonics, antitussives, antihistamines, narcotic analgesics, peptide hormones, cardioactive products, enzymes, antinauseants, anticonvulsants, immunosuppressives, psychotherapeutics, sedatives, hypnotics, anticoagulants, analgesics, antimigraine agents, antiarrhythmic agents, antiemetics, neurologic agents, hemostatics, anti-obesity agents, antigout agents, antianxiety agents, immunosuppressive agents, hyperlipidemic agents, antiparkinson agents, antifungal agents, antimanic agents, antipyretics, antiarthritic agents, antiplatelet agents, anticonvulsants, antidiabetic agents, anticoagulants, antiarrhythmics, antianginal agents, or mixtures thereof.

49-53. (Canceled).

54. (New) A method of facilitating or enhancing the bioavailability of a bioactive agent or drug, the activity of which is diminished by P-gp170 or CYP450 in a patient or subject, said method comprising co-administering with said bioactive agent or drug to said patient or subject an effective amount of at least one bioavailability enhancing agent according to the formula:



where  $R^5$  is an optionally substituted phenyl or benzyl group, an acyl group, a  $C_1$ — $C_{20}$  alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

$R^6$  and  $R^7$  are each independently H,  $(C_1-C_{12})$ alkyl,  $(C_2-C_{13})$ acyl, or an optionally substituted phenyl or benzyl or together with the oxygen atoms to which they are attached form a  $-OCR^1R^2O-$  group wherein each of  $R^1$  and  $R^2$  is independently H, a  $C_1-C_3$  alkyl group or an optionally substituted phenyl or benzyl group; and

$R^8$  is H, OH, an O-acyl group, a  $C_1-C_4$  alkyl or alkoxy group, F, Cl, Br or I, or a pharmaceutically acceptable salt thereof.

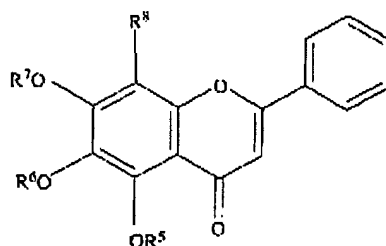
55. (New) The method according to claim 54 wherein said bioactive agent is an anti-cancer agent.

56. (New) The method according to claim 55 wherein said anticancer agent is selected from the group consisting of Ara C, etoposide, doxorubicin, daunorubicin, mitoxantrone, idarubicin, vinblastine, vincristine, taxol, hydroxyurea, colchicine, etoposide, teniposide, actinomycin D, puromycin, valinomycin, mithramycin, gramicidin D, emetine, rhodamine 123, cytoxan, DiOC2, Hoechst 33342, mitomycin C, adriamycin, topotecan, camptothecin, irinotecan, gemcitabine, cis-platin and mixtures thereof.

57. (New) The method according to claim 54 wherein  $R^5$  is a methyl, acetyl or benzyl group,  $R^6$  and  $R^7$  is each independently a  $C_1-C_8$  alkyl group, an acetyl or benzyl group, or  $R^6$  and  $R^7$  together form a  $CH_2$  group or a  $CPh_2$  group; and  $R^8$  is H or Br.

58. (New) The method according to claim 54 wherein  $R^8$  is Br.

59. (New) A method of facilitating or enhancing the bioavailability of a bioactive agent or drug, the activity of which is diminished by P-gp170 or CYP450 in a patient or subject, said method comprising co-administering with said bioactive agent or drug to said patient or subject an effective amount of at least one bioavailability enhancing agent according to the formula:



where  $R^5$  is a benzyl group (Bn),  $R^6$  is an acetyl group (Ac);  $R^7$  is an acetyl group (Ac) and  $R^8$  is H; or

$R^5$  is H,  $R^6$  is Bn;  $R^7$  is Ac and  $R^8$  is H; or

$R^5$  is Ac,  $R^6$  is Ac;  $R^7$  is Bn and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Bn  $R^7$  is H and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Bn;  $R^7$  is Bn and  $R^8$  is H;

$R^5$  is a methyl group (Me),  $R^6$  and  $R^7$  together form a  $CPh_2$  group and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Ac;  $R^7$  is H and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is H;

$R^5$  is Ac,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is H;

$R^5$  is Me,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is H;

$R^5$  is Me,  $R^6$  is Ac;  $R^7$  is Me and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is Br;

$R^5$  is H,  $R^6$  is H;  $R^7$  is H and  $R^8$  is Br;

$R^5$  is H,  $R^6$  is Me;  $R^7$  is Me and  $R^8$  is H;

$R^5$  is Me,  $R^6$  is Me;  $R^7$  is Me and  $R^8$  is H;

$R^5$  is H,  $R^6$  and  $R^7$  together with the oxygen atoms to which they are attached form a  $OCH_2O$  group and  $R^8$  is H;

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s.n. 10/586,822

$R^5$  is Me,  $R^6$  and  $R^7$  together with the oxygen atoms to which they are attached form a  $OCH_2O$  group and  $R^8$  is H;  
 $R^5$  is H,  $R^6$  is ethyl (Et);  $R^7$  is H and  $R^8$  is H;  
 $R^5$  is H,  $R^6$  is Et;  $R^7$  is Me and  $R^8$  is H; or  
 $R^5$  H,  $R^6$  is Et;  $R^7$  is Et and  $R^8$  is H;  
 $R^5$  Me,  $R^6$  is Et;  $R^7$  is Et and  $R^8$  is H;  
 $R^5$  H,  $R^6$  is propyl (Pr);  $R^7$  is H and  $R^8$  is H;  
 $R^5$  H,  $R^6$  is Pr;  $R^7$  is Me and  $R^8$  is H;  
 $R^5$  Me,  $R^6$  is Pr;  $R^7$  is Me and  $R^8$  is H;  
 $R^5$  H,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H;  
 $R^5$  Me,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H;  
 $R^5$  H,  $R^6$  is  $C_4H_9$ ;  $R^7$  is  $C_4H_9$  and  $R^8$  is H;  
 $R^5$  Me,  $R^6$  is  $C_4H_9$ ;  $R^7$  is  $C_4H_9$  and  $R^8$  is H;  
 $R^5$  H,  $R^6$  is  $C_5H_{11}$ ;  $R^7$  is  $C_5H_{11}$  and  $R^8$  is H;  
 $R^5$  Me,  $R^6$  is  $C_5H_{11}$ ;  $R^7$  is  $C_5H_{11}$  and  $R^8$  is H;  
 $R^5$  H,  $R^6$  is  $C_6H_{13}$ ;  $R^7$  is  $C_6H_{13}$  and  $R^8$  is H;  
 $R^5$  Me,  $R^6$  is  $C_6H_{13}$ ;  $R^7$  is  $C_6H_{13}$  and  $R^8$  is H; or  
 $R^5$  H,  $R^6$  is  $C_8H_{17}$ ;  $R^7$  is  $C_8H_{17}$  and  $R^8$  is H; or  
a pharmaceutically acceptable salt thereof.

60. (New) The method of claim 59 wherein said bioactive agent or drug acts on the central nervous system.

61. (New) The method of claim 59 wherein said bioactive agent acts on the brain.

62. (New) The method of claim 59 wherein said bioactive agent attains a level which is at least twice the level attained in the absence of said enhancing agent.

63. (New) The method according to claim 59 wherein said bioactive agent is an antitumor or anticancer agent.

64. (New) The method according to claim 59 wherein said bioactive agent is an anti-cancer agent.

65. (New) The method according to claim 59 wherein said anticancer agent is selected from the group consisting of Ara C, etoposide, doxorubicin, daunorubicin, mitoxantrone, idarubicin, vinblastine, vincristine, taxol, hydroxyurea, colchicine, etoposide, tenoposide, actinomycin D, puromycin, valinomycin, mithramycin, gramicidin D, emetine, rhodamine 123, cytoxan, DiOC2, Hoechst 33342, mitomycin C, adriamycin, topotecan, camptothecin, irinotecan, gemcitabine, cis-platin and mixtures thereof.

66. (New) The method according to claim 59 wherein said bioactive agent or drug is selected from the group consisting of anesthetics, systemic antibiotics, antiparasitics, systemic quinolones, anti-infectives, anti-inflammatories, aminoglycosides, cephalosporins, penicillins, antidotes, anti-cholinesterases, metal poisoning antidotes, anticancer agents, cytotoxic agents, hormones, steroids, immunomodulators, cytokines, systemic antivirals, systemic antifungals, biologicals, alpha-antitrypsin, bone metabolism regulators, hypercalcemic agent, cardiovascular agents, beta blockers, cerebral vasodilators, cerebral metabolic enhancers, cholinesterase inhibitors, vasopressors, local diabetic agents, diagnostics, adenosine deaminase deficiency agents, gonadotropin inhibitors, adrenal cortical steroid inhibitors, gonadotropin releasing hormone stimulant, urofollitropins, muscle relaxants such as neuromuscular blocking agents, prostaglandin analogs, prostaglandins, prostaglandin inhibitors, respiratory therapy agents, anticholinergics, beta adrenergic stimulators, sympathomimetics, and thrombolytics, antithrombotics, anticoagulants, antibiotics antiplatelet agents, thrombolytics, antiproliferatives, steroidal and nonsteroidal antiinflammatories, agents that inhibit hyperplasia, smooth muscle cell inhibitors, growth factors, growth factor inhibitors, cell adhesion inhibitors, cell adhesion promoters, endothelial cell regeneration agents, antiinflammatory drugs, antibacterials, antiprotazoals, antifungals, coronary vasodilators, calcium channel blockers, bronchodilators, enzyme inhibitors, antihypertensives, anti-ulceratives, steroidal hormones, antivirals, immunomodulators, local anesthetics, cardiotonics, antitussives, antihistamines, narcotic analgesics, peptide hormones,

cardioactive products, enzymes, antinauseants, anticonvulsants, immunosuppressives, psychotherapeutics, sedatives, hypnotics, anticoagulants, analgesics, antimigraine agents, antiarrhythmic agents, antiemetics, neurologic agents, hemostatics, anti-obesity agents, antigout agents, antianxiety agents, immunosuppressive agents, hyperlipidemic agents, antiparkinson agents, antifungal agents, antimanic agents, antipyretics, antiarthritic agents, antiplatelet agents, anticonvulsants, antidiabetic agents, anticoagulants, antiarrhythmics, antianginal agents, or mixtures thereof.

66. (New) The method according to claim 59 wherein

$R^5$  is a benzyl group (Bn),  $R^6$  is an acetyl group (Ac);  $R^7$  is an acetyl group (Ac) and  $R^8$  is H; or

$R^5$  is H,  $R^6$  is Bn;  $R^7$  is Ac and  $R^8$  is H; or

$R^5$  is Ac,  $R^6$  is Ac;  $R^7$  is Bn and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Bn  $R^7$  is H and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Bn;  $R^7$  is Bn and  $R^8$  is H;

$R^5$  is a methyl group (Me),  $R^6$  and  $R^7$  together form a  $CPh_2$  group and  $R^8$  is H; or

$R^5$  is Me,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H.

67. (New) The method according to claim 59 wherein

$R^5$  is H,  $R^6$  is Ac;  $R^7$  is H and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is H;

$R^5$  is Ac,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is H;

$R^5$  is Me,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is H;

$R^5$  is Me,  $R^6$  is Ac;  $R^7$  is Me and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Ac;  $R^7$  is Ac and  $R^8$  is Br;

$R^5$  is H,  $R^6$  is H;  $R^7$  is H and  $R^8$  is Br; or

$R^5$  is Me,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H.

68. (New) The method according to claim 59 wherein

$R^5$  is H,  $R^6$  is Me;  $R^7$  is Me and  $R^8$  is H;

$R^5$  is Me,  $R^6$  is Me;  $R^7$  is Me and  $R^8$  is H;

$R^5$  is H,  $R^6$  and  $R^7$  together with the oxygen atoms to which they are attached form a  $OCH_2O$  group and  $R^8$  is H;

$R^5$  is Me,  $R^6$  and  $R^7$  together with the oxygen atoms to which they are attached form a  $OCH_2O$  group and  $R^8$  is H;

$R^5$  is H,  $R^6$  is ethyl (Et);  $R^7$  is H and  $R^8$  is H;

$R^5$  is H,  $R^6$  is Et;  $R^7$  is Me and  $R^8$  is H; or

$R^5$  is Me,  $R^6$  is Pr,  $R^7$  is Pr and  $R^8$  is H.

69. (New) The method according to claim 59 wherein

$R^5$  H,  $R^6$  is Et;  $R^7$  is Et and  $R^8$  is H;

$R^5$  Me,  $R^6$  is Et;  $R^7$  is Et and  $R^8$  is H;

$R^5$  H,  $R^6$  is propyl (Pr);  $R^7$  is H and  $R^8$  is H;

$R^5$  H,  $R^6$  is Pr;  $R^7$  is Me and  $R^8$  is H;

$R^5$  Me,  $R^6$  is Pr;  $R^7$  is Me and  $R^8$  is H;

$R^5$  H,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H;

$R^5$  Me,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H;

$R^5$  H,  $R^6$  is  $C_4H_9$ ;  $R^7$  is  $C_4H_9$  and  $R^8$  is H;

$R^5$  Me,  $R^6$  is  $C_4H_9$ ;  $R^7$  is  $C_4H_9$  and  $R^8$  is H;

$R^5$  H,  $R^6$  is  $C_5H_{11}$ ;  $R^7$  is  $C_5H_{11}$  and  $R^8$  is H;

$R^5$  Me,  $R^6$  is  $C_5H_{11}$ ;  $R^7$  is  $C_5H_{11}$  and  $R^8$  is H;

$R^5$  H,  $R^6$  is  $C_6H_{13}$ ;  $R^7$  is  $C_6H_{13}$  and  $R^8$  is H;

$R^5$  Me,  $R^6$  is  $C_6H_{13}$ ;  $R^7$  is  $C_6H_{13}$  and  $R^8$  is H; or

$R^5$  H,  $R^6$  is  $C_8H_{17}$ ;  $R^7$  is  $C_8H_{17}$  and  $R^8$  is H or

$R^5$  is Me,  $R^6$  is Pr;  $R^7$  is Pr and  $R^8$  is H.